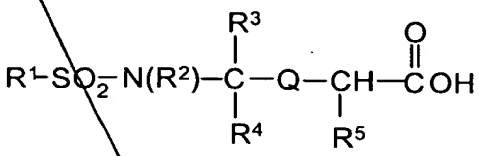
--1. (thrice amended) A compound of formula I:



I

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

C'

D' Cont

carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl
substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,
substituted heteroaryl,
heterocyclic,
and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted

C1
R1
Cont

thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2-$alkyl, $-S(O)_2-$substituted alkyl, $-S(O)_2-$cycloalkyl, $-S(O)_2-$substituted cycloalkyl, $-S(O)_2-$alkenyl, $-S(O)_2-$substituted alkenyl, $-S(O)_2-$aryl, $-S(O)_2-$substituted aryl, $-S(O)_2-$heteroaryl, $-S(O)_2-$substituted heteroaryl, $-S(O)_2-$heterocyclic, $-S(O)_2-$substituted heterocyclic, $-OS(O)_2-$alkyl, $-OS(O)_2-$substituted alkyl, $-OS(O)_2-$aryl, $-OS(O)_2-$substituted aryl, $-OS(O)_2-$heteroaryl, $-OS(O)_2-$substituted heteroaryl, $-OS(O)_2-$heterocyclic, $-OS(O)_2-$substituted heterocyclic, $-OSO_2-$NRR where R is hydrogen or alkyl, $-NRS(O)_2-$alkyl, $-NRS(O)_2-$substituted alkyl, $-NRS(O)_2-$aryl, $-NRS(O)_2-$substituted aryl, $-NRS(O)_2-$heteroaryl, $-NRS(O)_2-$substituted heteroaryl, $-NRS(O)_2-$heterocyclic, $-NRS(O)_2-$substituted heterocyclic, $-NRS(O)_2-$NR-alkyl, $-NRS(O)_2-$NR-substituted alkyl, $-NRS(O)_2-$NR-aryl, $-NRS(O)_2-$NR-substituted aryl, $-NRS(O)_2-$NR-heteroaryl, $-NRS(O)_2-$NR-substituted heteroaryl, $-NRS(O)_2-$NR-heterocyclic, $-NRS(O)_2-$NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2$NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy,

heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl;

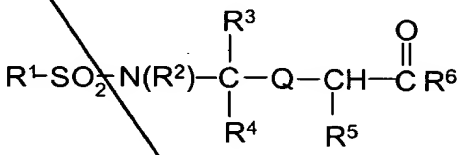
with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

Q is -C(X)NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof.

C1
R1 Cont

2. (thrice amended) A compound of formula IA below:



IA

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, -CH$_2$X and =CH-X where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

C1
R1
cont

aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl
substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,
substituted heteroaryl,
heterocyclic,
and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy,

C1
D1
cont

substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2-$alkyl, $-S(O)_2-$substituted alkyl, $-S(O)_2-$cycloalkyl, $-S(O)_2-$substituted cycloalkyl, $-S(O)_2-$alkenyl, $-S(O)_2-$substituted alkenyl, $-S(O)_2-$aryl, $-S(O)_2-$substituted aryl, $-S(O)_2-$heteroaryl, $-S(O)_2-$substituted heteroaryl, $-S(O)_2-$heterocyclic, $-S(O)_2-$substituted heterocyclic, $-OS(O)_2-$alkyl, $-OS(O)_2-$substituted alkyl, $-OS(O)_2-$aryl, $-OS(O)_2-$substituted aryl, $-OS(O)_2-$heteroaryl, $-OS(O)_2-$substituted heteroaryl, $-OS(O)_2-$heterocyclic, $-OS(O)_2-$substituted heterocyclic, $-OSO_2-$NRR where R is hydrogen or alkyl, $-NRS(O)_2-$alkyl, $-NRS(O)_2-$substituted alkyl, $-NRS(O)_2-$aryl, $-NRS(O)_2-$substituted aryl, $-NRS(O)_2-$heteroaryl, $-NRS(O)_2-$substituted heteroaryl, $-NRS(O)_2-$heterocyclic, $-NRS(O)_2-$substituted heterocyclic, $-NRS(O)_2-$NR-alkyl, $-NRS(O)_2-$NR-substituted alkyl, $-NRS(O)_2-$NR-aryl, $-NRS(O)_2-$NR-substituted aryl, $-NRS(O)_2-$NR-heteroaryl, $-NRS(O)_2-$NR-substituted heteroaryl, $-NRS(O)_2-$NR-heterocyclic, $-NRS(O)_2-$NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

C1
D1
cont

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl,

substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl;

with the proviso that when R^5 is $=CH-X$ then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X)NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

12. (amended) The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4-[ϕ-$CH(CH_3)O$-]benzyl, 4-[ϕ-CH(COOH)O-]-benzyl, 4-[$BocNHCH_2C(O)NH$-]benzyl, 4-chlorobenzyl, 4-[$NH_2CH_2C(O)NH$-]benzyl, 4-carboxybenzyl, 4-[$CbzNHCH_2CH_2NH$-]benzyl, 3-hydroxy-4-(ϕ-OC(O)NH-)benzyl, 4-[$HOOCCH_2CH_2C(O)NH$-]benzyl, benzyl, 4-[2′-carboxylphenoxy-]benzyl,

C2 D2 cont

4-[ϕ-C(O)NH-]benzyl, 3-carboxybenzyl, 4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, ϕ-CH_2CH_2-, 4-nitrobenzyl, 2-carboxybenzyl, 4-[dibenzylamino]-benzyl, 4-[(1′-cyclopropylpiperidin-4′-yl)-C(O)NH-]benzyl, 4-[-$NHC(O)CH_2NHBoc$]benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-[-$NHC(O)CH(CH_3)NHBoc$]benzyl, 4-[-$NHC(O)CH(CH_2\phi)NHBoc$]-benzyl, isobutyl, methyl, 4-[$CH_3C(O)NH$-]benzyl, -CH_2-(3-indolyl), *n*-butyl, *t*-butyl-$OC(O)CH_2$-, *t*-butyl-$OC(O)CH_2CH_2$-, $H_2NC(O)CH_2$-, $H_2NC(O)CH_2CH_2$-, BocNH-$(CH_2)_4$-, *t*-butyl-OC(O)-$(CH_2)_2$-, $HOOCCH_2$-, $HOOC(CH_2)_2$-, $H_2N(CH_2)_4$-, isopropyl, (1-naphthyl)-CH_2-, (2-naphthyl)-CH_2-, (2-thiophenyl)-CH_2-, ϕ-CH_2-$OC(O)NH$-$(CH_2)_4$-, cyclohexyl-CH_2-, benzyloxy-CH_2-, $HOCH_2$-, 5-(3-N-benzyl)imidazolyl-CH_2-, 2-pyridyl-CH_2-, 3-pyridyl-CH_2-, 4-pyridyl-CH_2-, 5-(3-N-methyl)imidazolyl-CH_2-, N-benzylpiperid-4-yl-CH_2-, N-Boc-piperidin-4-yl-CH_2-, N-(phenyl-carbonyl)piperidin-4-yl-CH_2-, $H_3CSCH_2CH_2$-, 1-N-benzylimidazol-4-yl-CH_2-, *iso*-propyl-$C(O)NH$-$(CH_2)_4$-, *iso*-butyl-$C(O)NH$-$(CH_2)_4$-, phenyl-$C(O)NH$-$(CH_2)_4$-, benzyl-$C(O)NH$-$(CH_2)_4$-, allyl-$C(O)NH$-$(CH_2)_4$-, 4-(3-N-methylimidazolyl)-CH_2-, 4-imidazolyl, 4-[$(CH_3)_2NCH_2CH_2CH_2$-O-]benzyl, 4-[$(benzyl)_2N$-]-benzyl, 4-aminobenzyl, allyloxy-$C(O)NH(CH_2)_4$-, allyloxy-$C(O)NH(CH_2)_3$-, allyloxy-$C(O)NH(CH_2)_2$-, $NH_2C(O)CH_2$-, ϕ-CH=, 2-pyridyl-$C(O)NH$-$(CH_2)_4$-, 4-methylpyrid-3-yl-$C(O)NH$-$(CH_2)_4$-, 3-methylthien-2-yl-$C(O)NH$-$(CH_2)_4$-, 2-pyrrolyl-$C(O)NH$-$(CH_2)_4$-, 2-furanyl-$C(O)NH$-$(CH_2)_4$-, 4-methylphenyl-SO_2-$N(CH_3)CH_2C(O)NH(CH_2)_4$-, 4-[cyclopentylacetylenyl]-benzyl, 4-[-NHC(O)-(N-Boc)-pyrrolidin-2-yl)]-benzyl-, 1-N-methylimidazol-4-yl-CH_2-, 1-N-methylimidazol-5-yl-CH_2-, imidazol-5-yl-CH_2-, 6-methylpyrid-3-yl-$C(O)NH$-$(CH_2)_4$-, 4-[-$NHC(O)NHCH_2CH_2CH_2$-ϕ]-benzyl, 4-[-$NHC(O)NHCH_2CH_2$-ϕ]-benzyl, -$CH_2C(O)NH(CH_2)_4\phi$, 4-[$\phi(CH_2)_4O$-]-benzyl, 4-[-C≡C-ϕ-4′ϕ]-benzyl, 4-[-C≡C-CH_2-O-$S(O)_2$-4′-CH_3-ϕ]-benzyl, 4-[-C≡C-$CH_2NHC(O)NH_2$]-benzyl, 4-[-C≡C-CH_2-O-4′-$COOCH_2CH_3$-ϕ]-benzyl, 4-[-C≡C-CH(NH_2)-cyclohexyl]-benzyl, -$(CH_2)_4NHC(O)CH_2$-3-indolyl, -$(CH_2)_4NHC(O)CH_2CH_2$-3-indolyl, -$(CH_2)_4NHC(O)$-3-(5-methoxyindolyl), -$(CH_2)_4NHC(O)$-3-(1-methylindolyl), -$(CH_2)_4NHC(O)$-4-(-$SO_2(CH_3)$-ϕ), -$(CH_2)_4NHC(O)$-4-$(C(O)CH_3)$-phenyl, -$(CH_2)_4NHC(O)$-4-fluorophenyl, -$(CH_2)_4NHC(O)CH_2O$-4-fluorophenyl, 4-[-C≡C-(2-pyridyl)]-benzyl,

4-[-C≡C-CH_2-O-phenyl]-benzyl, 4-[-C≡C-CH_2OCH_3]-benzyl, 4-[-C≡C-(3-hydroxyphenyl)]-benzyl, 4-[-C≡C-CH_2-O-4′-(-C(O)OC_2H_5)phenyl]-benzyl, 4-[-C≡C-$CH_2CH(C(O)OCH_3)_2$]-benzyl, 4-[-C≡C-CH_2NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl), 3-aminobenzyl, 4-[-C≡C-$CH_2CH($ $NHC(O)CH_3)C(O)OH$]-benzyl, -$CH_2C(O)NHCH(CH_3)\phi$, -$CH_2C(O)NHCH_2$-(4-dimethylamino)-ϕ, -$CH_2C(O)NHCH_2$-4-nitrophenyl, -$CH_2CH_2C(O)N(CH_3)CH_2$-ϕ, -$CH_2CH_2C(O)NHCH_2CH_2$-(N-methyl)-2-pyrrolyl, -$CH_2CH_2C(O)NHCH_2CH_2CH_2CH_3$, -$CH_2CH_2C(O)NHCH_2CH_2$-3-indolyl, -$CH_2C(O)N(CH_3)CH_2$phenyl, -$CH_2C(O)NH(CH_2)_2$-(N-methyl)-2-pyrrolyl, -$CH_2C(O)NHCH_2CH_2CH_2CH_3$, -$CH_2C(O)NHCH_2CH_2$-3-indolyl, -$(CH_2)_2C(O)NHCH(CH_3)\phi$, -$(CH_2)_2C(O)NHCH_2$-4-dimethylaminophenyl, -$(CH_2)_2C(O)NHCH_2$-4-nitrophenyl, -$CH_2C(O)NH$-4-[-$NHC(O)CH_3$-phenyl], -$CH_2C(O)NH$-4-pyridyl, -$CH_2C(O)NH$-4-[dimethylaminophenyl], -$CH_2C(O)NH$-3-methoxyphenyl, -$CH_2CH_2C(O)NH$-4-chlorophenyl, -$CH_2CH_2C(O)NH$-2-pyridyl, -$CH_2CH_2C(O)NH$-4-methoxyphenyl, -$CH_2CH_2C(O)NH$-3-pyridyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, -$(CH_2)_3NHC(NH)NH$-SO_2-4-methylphenyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, -$(CH_2)_4NHC(O)NHCH_2CH_3$, -$(CH_2)_4NHC(O)NH$-phenyl, -$(CH_2)_4NHC(O)NH$-4-methoxyphenyl, 4-[4′-pyridyl-C(O)NH-]-benzyl, 4-[3′-pyridyl-C(O)NH-]-benzyl, 4-[-NHC(O)NH-3′-methylphenyl]-benzyl, 4-[-$NHC(O)CH_2NHC(O)$NH-3′-methylphenyl]-benzyl, 4-[-NHC(O)-(2′,3′-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2′,3′-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[-OCH_2CH_2-1′-(4′-pyrimidinyl)-piperazinyl]-benzyl, 4-[-OCH_2CH_2-(1′-piperidinyl)]-benzyl, 4-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2$-(1′-piperidinyl)]-benzyl-, -CH_2-3-(1,2,4-triazolyl), 4-[-$OCH_2CH_2CH_2$-4-(3′-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-$OCH_2CH_2N(\phi)CH_2CH_3$]-benzyl, 4-[-OCH_2-3′-(N-Boc)-piperidinyl]-benzyl, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH_2CH_2O-]-benzyl, 4-[-OCH_2CH_2-(N-morpholinyl)]-benzyl, 4-[-O-(3′-(N-Boc)-piperidinyl]-benzyl, 4-[-$OCH_2CH($NHBoc$)CH_2$cyclohexyl]-benzyl, *p*-[OCH_2CH_2-(N-piperidinyl]-benzyl,

C2 D2 cont

4-[-$OCH_2CH_2CH_2$-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH_2CH_2-(N-homopiperidinyl)-benzyl, 4-[-NHC(O)-3′-(N-Boc)-piperidinyl]-benzyl, 4-[-$OCH_2CH_2N(benzyl)_2$]-benzyl, -CH_2-2-thiazolyl, 3-hydroxybenzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-NHC(S)$NHCH_2CH_2$-(N-morpholino)]-benzyl, 4-[-$OCH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[$CH_3(CH_2)_4NH$-]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino-]benzyl, 4-[-NHC(O)-4′-piperidinyl]benzyl, 4-[-NHC(O)CH(NHBoc)$(CH_2)_4NHCbz$]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-1′-yl]-benzyl, p-[-$OCH_2CH_2CH_2$-1′-(4′-methyl)-piperazinyl]-benzyl, -$(CH_2)_4NH$-Boc, 3-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 3-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)$benzyl]-benzyl, 4-[-NHC(S)$NHCH_2CH_2CH_2$-(N-morpholino)]-benzyl, 4-[-OCH_2CH_2-(N-morpholino)]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)NH-(4′-cyanophenyl)]-benzyl, 4-[-OCH_2COOH]-benzyl, 4-[-OCH_2COO-*t*-butyl]-benzyl, 4-[-NHC(O)-5′-fluoroindol-2-yl]-benzyl, 4-[-NHC(S)$NH(CH_2)_2$-1-piperidinyl]-benzyl, 4-[-$N(SO_2CH_3)(CH_2)_3$-$N(CH_3)_2$]-benzyl, 4-[-NHC(O)$CH_2CH(C(O)OCH_2\phi)$-NHCbz]-benzyl, 4-[-$NHS(O)_2CF_3$]-benzyl, 3-[-O-(N-methylpiperidin-4′-yl]-benzyl, 4-[-C(=NH)NH_2]-benzyl, 4-[-$NHSO_2$-CH_2Cl]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydroisoquinolin-2′-yl]-benzyl, 4-[-NHC(S)$NH(CH_2)_3$-N-morpholino]-benzyl, 4-[-NHC(O)$CH(CH_2CH_2CH_2CH_2NH_2)$NHBoc]-benzyl, 4-[-C(O)NH_2]-benzyl, 4-[-NHC(O)NH-3′-methoxyphenyl]-benzyl, 4-[-OCH_2CH_2-indol-3′-yl]-benzyl, 4-[-$OCH_2C(O)$NH-benzyl]-benzyl, 4-[-$OCH_2C(O)O$-benzyl]-benzyl, 4-[-$OCH_2C(O)OH$]-benzyl, 4-[-OCH_2-2′-(4′,5′-dihydro)imidazolyl]-benzyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2′-pyrrolidinyl-N-SO_2-4′-methylphenyl]-benzyl, 4-[-NHC(O)$NHCH_2CH_2CH_3$]-benzyl, [4-aminobenzyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-(3-methoxypyrrol-2-yl)-piperazinyl]-benzyl, 4-[-O-(N-methylpiperidin-4′-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3′-yl]-benzyl, 4-[-NHC(O)-pyridin-2′-yl]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)-(N-(4′-CH3-ϕ-SO_2)-L-pyrrolidin-2′-yl)]-benzyl, 4-[-NHC(O)$NHCH_2CH_2$-ϕ]-benzyl, 4-[-$OCH_2C(O)NH_2$]-benzyl,

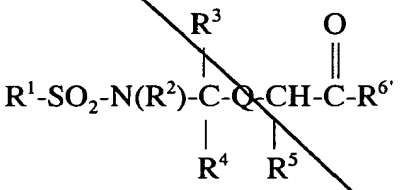
C.2 R cont

4-[-$OCH_2C(O)NH$-*t*-butyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-phenyl)-piperidinyl]-benzyl, 4-[-$NHSO_2$-$CH=CH_2$]-benzyl, 4-[-$NHSO_2$-CH_2CH_2Cl]-benzyl, -$CH_2C(O)NHCH_2CH_2N(CH_3)_2$, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(4′-$(CH_3)_2NC(O)O$-)phenyl)-C(O)NH-]benzyl, 4-[-NHC(O)-1′-methylpiperidin-4′-yl-]benzyl, 4-(dimethylamino)benzyl, 4-[-NHC(O)-(1′-N-Boc)-piperidin-2′-yl]-benzyl, 3-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH_2-O-benzyl)-NH-]benzyl, [Boc$NHCH_2C(O)NH$-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[$(Et)_2NCH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(1′-Boc-4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[$\phi CH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(perhydroindolin-2′-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-yl)piperidin-1-yl]ethyl, 4-[(1′-Boc-perhydroindolin-2′-yl)-C(O)NH-]benzyl, 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl)amino]-benzyl, 4-[*N*-vinylsulfonyl)amino]benzyl-, 4-[2-(2-azabicyclo[3.2.2]octan-2-yl)ethyl-O-]benzyl, 4-[4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(ϕNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl,4-(ϕCH_2NHC(S)NH)benzyl, 3-[(1′-Boc-piperidin-2′-yl)C(O)NH-]benzyl, 3-[piperidin-2′-yl-C(O)NH-]benzyl, 4-[(3′-Boc-thiazolidin-4′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-NHC(S)NH)benzyl, 4-(CH_3-NHC(S)NH)benzyl-, 4-($H_2NCH_2CH_2CH_2C(O)NH$)benzyl, 4-(Boc$HNCH_2CH_2CH_2C(O)NH$)benzyl, 4-(pyridin-4′-yl-CH_2NH)benzyl, 4-[(*N*,*N*-di(4-*N*,*N*-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[ϕCH_2OCH_2(BocHN)$CHC(O)NH$]benzyl, 4-[(piperidin-4′-yl)C(O)NH-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-C(O)NH)butyl, 4-(pyridin-4′-yl-C(O)NH)butyl, 4-(pyridin-3′-yl-C(O)NH)benzyl, 4-[$CH_3NHCH_2CH_2CH_2C(O)NH$-]benzyl, 4-[CH_3N(Boc)$CH_2CH_2CH_2C(O)NH$-]benzyl, 4-(aminomethyl)benzyl, 4-[$\phi CH_2OCH_2(H_2N)CHC(O)NH$]benzyl, 4-[(1′,4′-di(Boc)piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(*N*-toluenesulfonylpyrrolidin-2′-yl)C(O)NH-]butyl, 4-[-NHC(O)-4′-piperidinyl]butyl, 4-[-NHC(O)-1′-N-Boc-piperidin-2′-yl]-benzyl, 4-[-NHC(O)-piperidin-2′-yl]-benzyl, 4-[(1′-N-Boc-2′,3′-dihydroindolin-2′-yl)-C(O)NH]-benzyl, 4-(pyridin-3′-yl-CH_2NH)benzyl, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-

]benzyl, 4-[(piperidin-1′-yl)C(O)CH_2-O-]benzyl, 4-[$(CH_3)_2CH)_2$NC(O)CH_2-O-]benzyl, 4-[HO(O)C(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[ϕCH_2O(O)C(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[-NHC(O)-2′-methoxyphenyl]-benzyl, 4-[(pyrazin-2′-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH_2)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-(2′-formyl-1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH_2NH-)benzyl, *N*-Cbz-$NHCH_2$-, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[CH_3(*N*-Boc)NCH_2C(O)NH-]benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-3′-yl]-benzyl, 4-[CH_3NHCH_2C(O)NH-]benzyl, $(CH_3)_2$NC(O)CH_2-, 4-(*N*-methylacetamido)benzyl, 4-(1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH_2NH-)benzyl, 4-[$(CH_3)_2NHCH_2$C(O)NH-]benzyl, (1-toluenesulfonylimidizol-4-yl)methyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2$NC(O)NH-]benzyl, 4-[CH_3OC(O)NH-]benzyl, 4-[$(CH_3)_2$NC(O)O-]benzyl, 4-[$(CH_3)_2$NC(O)N(CH_3)-]benzyl, 4-[CH_3OC(O)N(CH_3)-]benzyl, 4-(*N*-methyltrifluoroacetamido)benzyl, 4-[(1′-methoxycarbonylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)NH-]benzyl, 4-[(piperidin-4′-yl)C(O)O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)-O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)NH-]benzyl, 3-[$(CH_3)_2$NC(O)O-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)O-]benzyl, 4-(*N*-toluenesulfonylamino)benzyl, 4-[$(CH_3)_3$CC(O)NH-]benzyl, 4-[(morpholin-4′-yl)C(O)NH-]benzyl, 4-[$(CH_3CH_2)_2$NC(O)NH-]benzyl, 4-[-C(O)NH-(4′-piperidinyl)]benzyl, 4-[(2′-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2′-methylphenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NS(O)_2$O-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1′-yl]benzyl, 4-[(thiomorpholin-4′-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4′-yl)C(O)O-]benzyl, 3-nitro-4-(CH_3OC(O)CH_2O-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (2*H*-1,4-benzoxazin-3(4*H*)-one-7-yl)methyl-, 4-[$(CH_3)_2NS(O)_2NH$-]benzyl, 4-[$(CH_3)_2NS(O)_2N(CH_3)$-]benzyl, 4-[(thiomorpholin-4′-yl)C(O)O-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)O-]benzyl, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1′-yl)C(O)O-]benzyl,

4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[(2′-methylpyrrolidin-1′-yl)-, (pyridin-4-yl)methyl-, 4-[(piperazin-4′-yl)-C(O)O-]benzyl, 4-[(1′-Boc-piperazin-4′-yl)-C(O)O-]benzyl, 4-[(4′-acetylpiperazin-1′-yl)C(O)O-]benzyl, *p*-[(4′-methanesulfonylpiperazin-1′-yl)-benzyl, 3-nitro-4-[(morpholin-4′-yl)-C(O)O-]benzyl, 4-{[$(CH_3)_2NC(S)]_2N$-}benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[$(CH_3)_2NS(O)_2$-]benzyl, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 1-N-benzyl-imidazol-4-yl-CH_2-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-$N(SO_2)(CH_3)CH_2CH_2CH_2N(CH_3)_2$]benzyl, 4-[$NHC(O)CH(CH_2CH_2CH_2CH_2NH_2)NHBoc$]-benzyl, [2′-[4″-hydroxy-4″-(3‴-methoxythien-2‴-yl)piperidin-2″-yl]ethoxy]benzyl, and *p*-[$(CH_3)_2NCH_2CH_2N(CH_3)C(O)O$-]benzyl.

16. (thrice amended) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,
hydroxyl,
acylamino,
alkyl,
alkoxy,
aryloxy,
aryl,
aryloxyaryl,
carboxyl,
carboxylalkyl,
carboxyl-substituted alkyl,
carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl
substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino,

mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl,

-$NRS(O)_2$-NR-aryl, -$NRS(O)_2$-NR-substituted aryl, -$NRS(O)_2$-NR-heteroaryl, -$NRS(O)_2$-NR-substituted heteroaryl, -$NRS(O)_2$-NR-heterocyclic, -$NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups such as Boc, Cbz, formyl, and the like or substituted with -SO_2NRR where R is hydrogen or alkyl;

with the proviso that when R^5 is =CH-X then (H) is removed from the formula and X is not hydroxyl;

$R^{6'}$ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, -$NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, -$OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and -CH_2COOR^{11} where R^{11} is alkyl, and -$NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is -$C(X)NR^7$- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then $R^{6'}$ is not *t*-butoxy.

22. (amended) A compound selected from the group consisting of:

C4

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-phenylalanine;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine methyl ester;

N-(toluene-4-sulfonyl)-L-α-methylprolyl-L-4-(isonicotinamido)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine ethyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(1-methylpiperidin-4-oxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(4-methylpiperazin-1-carbonyloxy)phenyl-alanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenylalanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine;

N-(toluene-4-sulfonyl)-α-methylprolyl-D-tyrosine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-(morpholin-4-ylcarbonyloxy)phenyl-alanine 1-(trimethyacetoxy)methyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine *tert*-butyl ester;

N-(toluene-4-sulfonyl)-α-methylprolyl-L-4-[N-(2-(N',N'-dimethylamino)ethyl)-N-methylcarbamyloxy]phenylalanine;

N-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine *tert*-butyl ester;

C4 *N*-(4-fluorobenzenesulfonyl)-α-methylprolyl-L-4-(*N*,*N*-dimethylcarbamyloxy)phenyl-alanine

or pharmaceutically acceptable salts thereof or any of the ester compounds recited above wherein one ester group is replaced with another ester group selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester and *tert*-butyl ester.